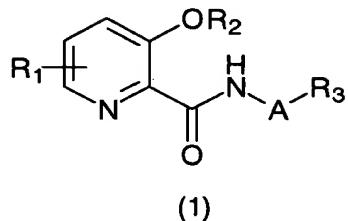


CLAIMS

1. A picolinamide derivative represented by formula (1) or a salt thereof:



wherein

A represents a bond or an optionally substituted alkylene chain;

$\text{R}_1$  represents one or more groups, which may be the same or different, selected from the group consisting of a hydrogen atom, alkoxy, and haloalkoxy;

$\text{R}_2$  represents a hydrogen atom, benzyl, alkyl or alkanoyl, in which the groups other than the hydrogen atom may be substituted; and

$\text{R}_3$  represents a hydrogen atom, cycloalkyl, cycloalkenyl, aryl or a heterocyclic group, in which the groups other than the hydrogen atom may be substituted,

excluding the case where  $\text{R}_1$  represents a hydrogen atom, A represents a bond or a methylene chain, and  $\text{R}_3$  represents phenyl or cyclohexyl, and the case where A represents an alkylene chain and  $\text{R}_3$  represents a hydrogen atom.

2. The picolinamide derivative or salt thereof according to claim 1, wherein

alkylene chain represented by A is an alkylene chain having 1 to 12 carbon atoms;

alkoxy or haloalkoxy represented by  $\text{R}_1$  is alkoxy having 1 to 4 carbon atoms or haloalkoxy having 1 to 4 carbon atoms;

alkyl or alkanoyl represented by  $\text{R}_2$  is alkyl having

1 to 4 carbon atoms or alkanoyl having 1 to 4 carbon atoms;

cycloalkyl, cycloalkenyl, aryl, and heterocyclic group represented by R<sub>3</sub> are respectively cycloalkyl having 3 to 12 carbon atoms, cycloalkenyl having 3 to 12 carbon atoms, monocyclic or polycyclic 3- to 12-membered aryl or 3- to 12-membered heterocyclic group.

3. The picolinamide derivative or salt thereof according to claim 1 or 2, wherein A is selected from the group consisting of a bond, methylene chain, 1,1- or 1,2-ethylene chain, 1,1-, 1,2-, 1,3-, or 2,2-propylene chain, 2-methyl-1,3-propylene chain, 1,1-, 1,2-, 1,3-, 1,4-, 2,2-, 2,3-, or 2,4-butylene chain, 3,3-dimethyl-1,4-butylene chain, 1,1,3,3-tetramethyl-1,4-butylene chain, hexamethylene chain, heptamethylene chain, octamethylene chain, nonamethylene chain, decamethylene chain, undecamethylene chain, dodecamethylene chain, 1,5-pentyl chain and 2,5-dichloro-1,5-pentyl chain.

4. The picolinamide derivative or salt thereof according to any one of claims 1 to 3, wherein alkoxy or haloalkoxy represented by R<sub>1</sub> is methoxy, ethoxy, 1-propyloxy, isopropyloxy, 1-butyloxy, 2-butyloxy, t-butyloxy, trifluoromethoxy, difluoromethoxy, fluoromethoxy, difluorochloromethoxy or trifluoroethoxy.

5. The picolinamide derivative or salt thereof according to any one of claims 1 to 3, wherein R<sub>1</sub> represents a hydrogen atom, 4-methoxy, 6-methoxy, 4,5-dimethoxy, or 4,6-dimethoxy.

6. The picolinamide derivative or salt thereof according to any one of claims 1 to 5, wherein

the substituted benzyl represented by R<sub>2</sub> is p-nitrobenzyl or p-methoxybenzyl,

the substituted alkyl represented by R<sub>2</sub> is methoxymethyl or methoxyethoxymethyl and

alkanoyl represented by R<sub>2</sub> is isobutyryl, acetyl, propionyl, or pivaloyl.

7. The picolinamide derivative or salt thereof

according to any one of claims 1 to 5, wherein  $R_2$  represents a hydrogen atom, benzyl, acetyl or propionyl.

8. The picolinamide derivative or salt thereof according to any one of claims 1 to 7, wherein cycloalkyl or cycloalkenyl represented by  $R_3$  is cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, cyclohexenyl, tetrahydronaphthyl, decahydronaphthyl, cyclododeca-trienyl, indanyl, norbornyl, or adamantyl.

9. The picolinamide derivative or salt thereof according to any one of claims 1 to 8, wherein, when cycloalkyl or cycloalkenyl represented by  $R_3$  is substituted by a substituent, the substituent is one, two or more groups selected from the group consisting of a halogen atom, cyano, nitro, amino, carboxyl, hydroxyl, phenyl which may be substituted by one, two or more substituents selected from the group consisting of a halogen atom, cyano, nitro, amino, alkylamino, alkanoylamino, alkyl having 1 to 5 carbon atoms, haloalkyl having 1 to 4 carbon atoms, alkoxy having 1 to 4 carbon atoms, and haloalkoxy having 1 to 4 carbon atoms, alkyl having 1 to 5 carbon atoms, haloalkyl having 1 to 4 carbon atoms and haloalkoxy having 1 to 4 carbon atoms.

10. The picolinamide derivative or salt thereof according to any one of claims 1 to 7, wherein aryl or heterocyclic group represented by  $R_3$  is phenyl, naphthyl, furyl, benzofuranyl, pyrrolyl, indolyl, thienyl, benzothienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, pyridyl, quinolinyl, pyrimidinyl, pyridazinyl, pyrazinyl, oxiranyl, tetrahydrofuryl, perhydropiranyl, pyrrolidinyl, piperidinyl, homopiperidinyl or morpholinyl.

11. The picolinamide derivative or salt thereof according to any one of claims 1 to 10, wherein when aryl or heterocyclic group represented by  $R_3$  is

substituted by a substituent, the substituent is one or two or more groups selected from the group consisting of:

a halogen atom, cyano, nitro, amino, hydroxyl, formyl, carboxyl, carbamoyl or thiocarbamoyl;

alkyl, alkoxy, alkylthio, alkylsulfinyl, or alkylsulfonyl, wherein said groups are straight-chain or branched groups having 1 to 6 carbon atoms;

straight-chain or branched C<sub>2</sub>-C<sub>6</sub> alkenyl or straight-chain or branched C<sub>2</sub>-C<sub>6</sub> alkenyloxy;

haloalkyl, haloalkoxy, haloalkylthio, haloalkylsulfinyl or haloalkylsulfonyl, wherein said groups are straight-chain or branched groups having 1 to 6 carbon atoms that each have 1 to 13 halogen atoms which may be the same or different;

straight-chain or branched C<sub>2</sub>-C<sub>6</sub> haloalkenyloxy or straight-chain or branched C<sub>2</sub>-C<sub>6</sub> haloalkenyloxy, wherein said groups each have 1 to 11 halogen atoms which may be the same or different;

acylamino, N-acyl-N-alkylamino, alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy, alkoxy carbonyl, alkylsulfonyloxy, hydroxyiminoalkyl, or alkoxyiminoalkyl, wherein said groups each have straight-chain or branched alkyl having 1 to 6 carbon atoms;

alkylene, dioxyalkylene or polyoxaalkylene, wherein said groups may be substituted by one, two or more substituents selected from the group consisting of a halogen atom, straight-chain or branched alkyl having 1 to 4 carbon atoms, straight-chain or branched haloalkyl having 1 to 5 carbon atoms, which has 1 to 11 halogen atoms which may be the same or different, and are present as a chain which is substituted in its both ends at adjacent positions on the ring to form a ring; and

cycloalkyl having 3 to 6 carbon atoms, aryl, aryloxy, arylthio, arylsulfinyl, arylsulfonyl, arylamino, arylalkyl, arylalkyloxy, aryloxyalkyloxy,

arylthioalkyloxy, aryloxyalkylthio, arylthioalkylthio, arylalkylthio, aryloxyalkyl, arylthioalkyl, heterocyclic group, heterocyclic oxy, heterocyclic thio, heterocyclic alkyl, heterocyclic alkyloxy or heterocyclic alkylthio, wherein alkyl is straight-chain or branched alkyl having 1 to 5 carbon atoms.

12. The picolinamide derivative or salt thereof according to claim 11, wherein when cycloalkyl having 3 to 6 carbon atoms, aryl, aryloxy, arylthio, arylsulfinyl, arylsulfonyl, arylamino, arylalkyl, arylalkyloxy, aryloxyalkyloxy, arylthioalkyloxy, aryloxyalkylthio, arylthioalkylthio, arylalkylthio, aryloxyalkyl, arylthioalkyl, heterocyclic group, heterocyclic oxy, heterocyclic thio, heterocyclic alkyl, heterocyclic alkyloxy or heterocyclic alkylthio, which is a substituent of aryl or heterocyclic group represented by R, is substituted by an additional substituent, the additional substituent is one, two or more groups selected from the group consisting of:

a halogen atom, cyano, nitro, amino, hydroxyl, formyl, carboxyl, carbamoyl or thiocarbamoyl;

alkyl, alkoxy, alkylthio, alkylsulfinyl or alkylsulfonyl, wherein said groups are straight-chain or branched groups having 1 to 6 carbon atoms;

straight-chain or branched C<sub>2</sub>-C<sub>6</sub> alkenyl or straight-chain or branched C<sub>2</sub>-C<sub>6</sub> alkenyloxy;

haloalkyl, haloalkoxy, haloalkylthio, haloalkylsulfinyl or haloalkylsulfonyl, wherein said groups are straight-chain or branched groups having 1 to 6 carbon atoms that each have 1 to 13 halogen atoms which may be the same or different;

straight-chain or branched C<sub>2</sub>-C<sub>6</sub> haloalkenyl or straight-chain or branched C<sub>2</sub>-C<sub>6</sub> haloalkenyloxy, wherein said groups each have 1 to 11 halogen atoms which may be the same or different;

acylamino, N-acyl-N-alkylamino, alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy,

alkoxycarbonyl, alkylsulfonyloxy, hydroxyiminoalkyl or alkoxyiminoalkyl, wherein said groups each have straight-chain or branched alkyl having 1 to 6 carbon atoms;

alkylene, dioxyalkylene or polyoxaalkylene, wherein said groups may be substituted by one, two or more substituents selected from the group consisting of a halogen atom, straight-chain or branched alkyl having 1 to 4 carbon atoms, straight-chain or branched haloalkyl having 1 to 5 carbon atoms, which has 1 to 11 halogen atoms which may be the same or different, and are present as a chain which is substituted in its both ends at adjacent positions on the ring to form a ring; and

cycloalkyl having 3 to 6 carbon atoms or aryl, wherein said groups may be substituted by one, two or more substituents selected from the group consisting of a halogen atom, straight-chain or branched alkyl or alkoxy having 1 to 4 carbon atoms, and straight-chain or branched haloalkyl having 1 to 5 carbon atoms that has 1 to 11 halogen atoms which may be the same or different.

13. The picolinamide derivative or salt thereof according to any one of claims 1 to 7, wherein R<sub>3</sub> is selected from the group consisting of:

a hydrogen atom, 4-phenoxyphenyl, 4-(4'-t-butylphenoxy)phenyl, 4-(3'-trifluoromethylphenoxy)phenyl, 3-phenoxyphenyl, 2-phenoxyphenyl, 4-benzylphenyl, 4-(4'-methoxyphenoxy)phenyl, 3-trifluoromethyl-4-(4'-trifluoromethylphenoxy)phenyl or 4-(4'-phenylphenoxy)phenyl;

4-(4'-methylphenoxy)phenyl or 4-(4'-methylphenoxy)phenyl;

4-(4'-methylphenoxy)-3-trifluoromethylphenyl, 3-chloro-4-phenoxyphenyl, 4-phenoxy-3-trifluoromethylphenyl, 3-methyl-4-phenoxyphenyl, or 3-methoxy-4-(4'-methylphenoxy)phenyl;

4-(2',4'-di-t-butylphenoxy)phenyl, 4-(3',5'-di-t-butylphenoxy)phenyl, 3-chloro-4-(4'-chlorophenoxy)phenyl,

3-methyl-4-(4'-methoxyphenoxy)phenyl, 1-(1-naphthyl)ethyl, 3-chloro-4-(4'-methoxyphenoxy)phenyl, 3-chloro-4-(4'-methylphenoxy)phenyl, 3-methyl-4-(4'-methylphenoxy)phenyl, 4-(4'-trifluoromethoxyphenoxy)phenyl or 4-(3'-trifluoromethoxyphenoxy)phenyl;

3-methyl-4-(4'-trifluoromethylphenoxy)phenyl, 4-(4'-methylphenoxy)-2-trifluoromethylphenyl, 2,4-di-(4'-methylphenoxy)phenyl, 4-benzyloxyphenyl, 3-benzyloxyphenyl, cyclododecyl, cyclooctyl, 1-adamantyl, 1-adamantanemethyl, 4-cyclohexylphenyl, 3,4-ethylenedioxyphenyl, 4-(4'-nitrophenoxy)phenyl, 2,6-dimethyl-4-phenoxyphenyl, 4-(4'-N-isopropylaminophenoxy)phenyl, 4-(4'-isobutyrylpiperazin-1'-yl)phenyl, 2-methylcyclohexyl, cyclopropyl, cyclopentyl, cyclobutyl, 4-(2'-phenoxyethoxy)phenyl, 4-(3'-phenoxypropyloxy)phenyl, 4-(3'-phenylpropyloxy)phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, phenyl, 4-methylphenyl, 4-chlorophenyl, 4-fluorophenyl, 4-t-butylphenyl, 4-neopentylphenyl, 2-fluoro-4-methylphenyl, 3,4-dichlorophenyl, 3,5-difluorophenyl, 3,5-di-t-butylphenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 2-phenylcyclopropyl, cyclohexyl, 1-cyclohexenyl, 4-phenetoxyphenyl, 3-chloro-4-phenetoxyphenyl, 4-(4'-chlorophenetoxy)phenyl, 4-methylcyclohexyl, cycloheptyl, cyclooctyl, 3-methyl-4-(3'-trifluoromethylphenoxy)phenyl, 4-t-butyl-2-chlorophenyl, 4-t-butyl-2,6-dimethylphenyl, 5-t-butylisoxazol-3-yl, or 4-t-butylthiazol-2-yl;

4-phenylthiophenyl, 2-methoxy-4-phenoxyphenyl, 3-(3-pyridyl)phenyl, 4-phenylaminophenyl or 4-(4-morpholinyl)phenyl; and

1-benzylpiperidin-4-yl, 4-(4'-aminophenoxy)phenyl, 4-benzoylphenyl, 1-indanyl, 1,2,3,4-tetrahydronaphtho-1-yl, 1-homopiperidinyl, 2-hydroxycyclohexyl or 4-hydroxycyclohexyl.

14. Use of the picolinamide derivative or salt

thereof according to any one of claims 1 to 13, for controlling harmful organisms.

15. A method for controlling harmful organisms, comprising the step of using the picolinamide derivative or salt thereof according to any one of claims 1 to 13.

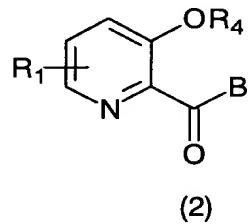
16. A method for treating plant pathogenic fungi infectious diseases, comprising the step of applying the picolinamide derivative or salt thereof according to any one of claims 1 to 13 to agricultural and gardening plants.

17. A harmful organism control agent comprising the picolinamide derivative or salt thereof according to any one of claims 1 to 13.

18. A harmful organism control agent comprising the picolinamide derivative or salt thereof according to any one of claims 1 to 13 and a pharmaceutically acceptable carrier or adjuvant.

19. The control agent according to claim 17 or 18, for preventing or exterminating plant pathogenic fungi, pest insects, weeds, or beasts.

20. A picolinic acid derivative represented by formula (2) or a salt thereof:



wherein

B represents hydroxyl, a halogen atom or alkoxy;

$\text{R}_1$  represents one, two or more groups, which may be the same or different, selected from the group consisting of alkoxy having 1 to 4 carbon atoms and haloalkoxy having 1 to 4 carbon atoms; and

$\text{R}_4$  represents a hydrogen atom, benzyl, alkyl

having 1 to 4 carbon atoms or alkanoyl having 1 to 4 carbon atoms, in which the groups other than the hydrogen atom may be substituted,

excluding the case where  $R_1$  represents 4-methoxy with  $R_4$  representing hydrogen or benzyl.

21. The picolinic acid derivative or salt thereof according to claim 19, wherein B is selected from the group consisting of hydroxyl, a chlorine atom, a bromine atom, methoxy, ethoxy, methoxymethoxy, benzyloxy and 4-methoxybenzyloxy.

22. The picolinic acid derivative or salt thereof according to claim 19 or 20, wherein  $R_1$  represents methoxy, ethoxy, 1-propyloxy, isopropoxy, 1-butyloxy, 2-butyloxy, t-butyloxy, trifluoromethoxy, difluoromethoxy, fluoromethoxy, difluorochloromethoxy or trifluoroethoxy.

23. The picolinic acid derivative or salt thereof according to any one of claims 19 to 21, wherein  $R_4$  represents a hydrogen atom, benzyl, p-nitrobenzyl, p-methoxybenzyl, methoxymethyl, methoxyethoxymethyl or diphenylmethyl.

24. A process for producing the picolinic acid derivative represented by formula (2) or salt thereof, comprising the steps of:

oxidizing a substituted 2-hydroxymethylpyridine in an inert solvent to form a 2-carboxyl compound; and

optionally removing the protective group by catalytic hydrogenation or hydrolysis.

25. A process for producing the picolinic acid derivative represented by formula (2) or salt thereof wherein  $R_1$  represents alkoxy having 1 to 4 carbon atoms or haloalkoxy having 1 to 4 carbon atoms substituted at the 6-position, said process comprising the steps of:

optionally introducing a protective group into 3-hydroxypicolinic acid to convert 3-hydroxypicolinic acid to an N-oxide compound;

successively subjecting the N-oxide compound to acylation and rearrangement to introduce acyloxy into

the 6-positoin; and

optionally removing the protective group.

26. A process for producing the picolinic acid derivative represented by formula (2) or salt thereof wherein R<sub>1</sub> represents alkoxy having 1 to 4 carbon atoms or haloalkoxy having 1 to 4 carbon atoms which may be the same or different and are substituted at the 4- and 5-positions or 4- and 6-positions, said process comprising the steps of:

optionally introducing a protective group into 3,4-disubstituted picolinic acid to convert 3,4-disubstituted picolinic acid to an N-oxide compound;

successively subjecting the N-oxide compound to acylation and rearrangement to introduce acyloxy into the 6- or 5-positoin; and

optionally removing the protective group.

27. A process for producing the picolinamide derivative represented by formula (1) or salt thereof, comprising the steps of:

reacting the picolinic acid derivative represented by formula (2) or salt thereof with H<sub>2</sub>N-A-R<sub>3</sub>, wherein A and R<sub>3</sub> are as defined above in connection with formula (1), in an inert solvent in the presence of a condensation agent or an acid linking agent, or under aminolysis reaction conditions; and

acylating the reaction product.

28. The process according to claim 27, wherein the picolinic acid derivative represented by formula (2) or salt thereof is the compound according to any one of claims 19 to 22, or the compound produced by the process according to any one of claims 24 to 26.